```
Connecting via Winsock to STN


Welcome to STN International!  Enter x:x

LOGINID:ssptasxm1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
 NEWS  3  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
 NEWS  4  JAN 16  IPC version 2007.01 thesaurus available on STN
 NEWS  5  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS  6  JAN 22  CA/CAplus updated with revised CAS roles
 NEWS  7  JAN 22  CA/CAplus enhanced with patent applications from India
 NEWS  8  JAN 29  PHAR reloaded with new search and display fields
 NEWS  9  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 10  FEB 15  PATDPASPC enhanced with Drug Approval numbers
 NEWS 11  FEB 15  RUSSIAPAT enhanced with pre-1994 records
 NEWS 12  FEB 23  KOREAPAT enhanced with IPC 8 features and functionality
 NEWS 13  FEB 26  MEDLINE reloaded with enhancements
 NEWS 14  FEB 26  EMBASE enhanced with Clinical Trial Number field
 NEWS 15  FEB 26  TOXCENTER enhanced with reloaded MEDLINE
 NEWS 16  FEB 26  IFICDB/IFIPAT/IFIUDB reloaded with enhancements
 NEWS 17  FEB 26  CAS Registry Number crossover limit increased from 10,000
                  to 300,000 in multiple databases
 NEWS 18  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
 NEWS 19  MAR 16  CASREACT coverage extended
 NEWS 20  MAR 20  MARPAT now updated daily
 NEWS 21  MAR 22  LWPI reloaded
 NEWS 22  MAR 30  RDISCLOSURE reloaded with enhancements
 NEWS 23  APR 02  JICST-EPLUS removed from database clusters and STN
 NEWS 24  APR 30  GENBANK reloaded and enhanced with Genome Project ID field
 NEWS 25  APR 30  CHEMCATS enhanced with 1.2 million new records
 NEWS 26  APR 30  CA/CAplus enhanced with 1870-1889 U.S. patent records
 NEWS 27  APR 30  INPADOC replaced by INPADOCDB on STN
 NEWS 28  MAY 01  New CAS web site launched
 NEWS 29  MAY 08  CA/CAplus Indian patent publication number format defined
 NEWS 30  MAY 14  RDISCLOSURE on STN Easy enhanced with new search and display
                  fields
 NEWS 31  MAY 21  BIOSIS reloaded and enhanced with archival data
 NEWS 32  MAY 21  TOXCENTER enhanced with BIOSIS reload
 NEWS 33  MAY 21  CA/CAplus enhanced with additional kind codes for German
                  patents
 NEWS 34  MAY 22  CA/CAplus enhanced with IPC reclassification in Japanese
                  patents

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:31:44 ON 13 JUN 2007

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:31:57 ON 13 JUN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 JUN 2007 HIGHEST RN 937161-92-7
DICTIONARY FILE UPDATES: 12 JUN 2007 HIGHEST RN 937161-92-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

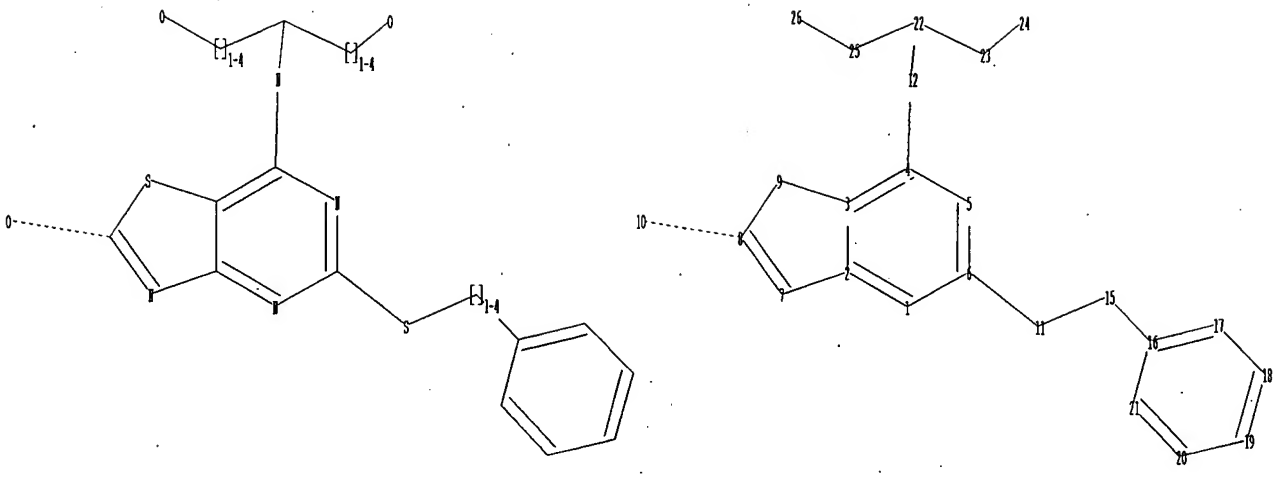
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10528270.str



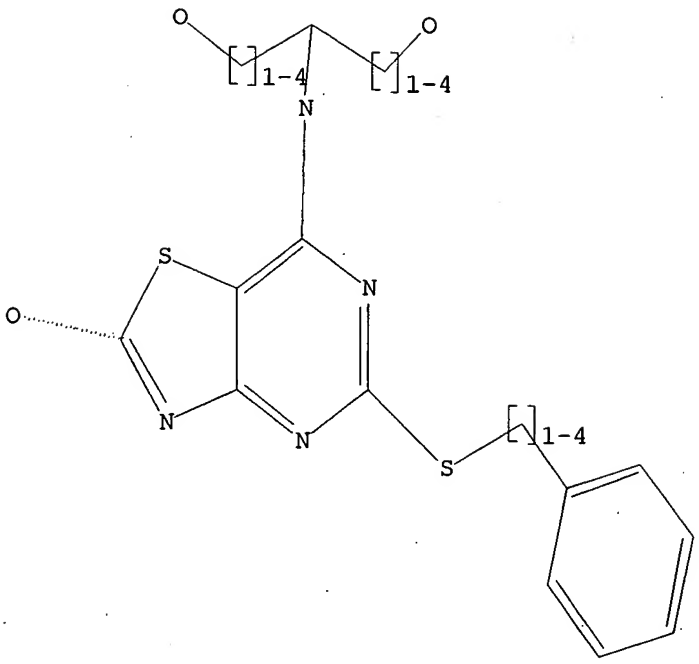
chain nodes :
10 11 15 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 16 17 18 19 20 21
ring/chain nodes :
12
chain bonds :
4-12 6-11 8-10 11-15 12-22 15-16 22-23 22-25 23-24 25-26
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 16-17 16-21 17-18 18-19 19-20
20-21
exact/norm bonds :
2-7 4-12 6-11 7-8 8-10 11-15 12-22 23-24 25-26
exact bonds :
3-9 8-9 15-16 22-23 22-25
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 :

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 18:32:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 18:32:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 120 TO ITERATE

100.0% PROCESSED 120 ITERATIONS 14 ANSWERS
SEARCH TIME: 00.00.01

L3 14 SEA SSS FUL L1

=> fil cap
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 18:32:32 ON 13 JUN 2007

FILE COVERS 1907 - 13 Jun 2007 VOL 146 ISS 25
FILE LAST UPDATED: 12 Jun 2007 (20070612/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 4 L3

=> d l4 ibib hitstr abs 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:547606 CAPLUS
DOCUMENT NUMBER: 143:78206
TITLE: Process for preparation of 5-difluorobenzylthio-7-aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via protection and amination reactions.
INVENTOR(S): Butters, Michael; Wisedale, Richard; Thomson, Colin; Welham, Matthew James; Watts, Andrew
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

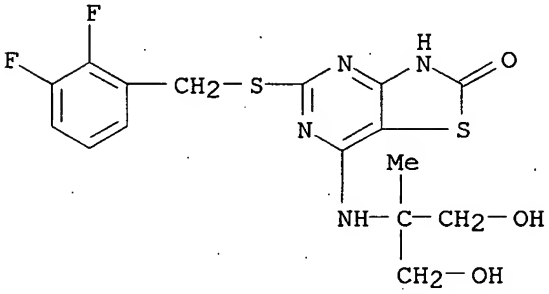
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056563	A2	20050623	WO 2004-GB5072	20041202
WO 2005056563	A3	20050825		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004296241	A1	20050623	AU 2004-296241	20041202
CA 2546719	A1	20050623	CA 2004-2546719	20041202
EP 1711505	A2	20061018	EP 2004-801262	20041202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1914213	A	20070214	CN 2004-80041445	20041202
BR 2004017300	A	20070306	BR 2004-17300	20041202

JP 2007513131 T 20070524 JP 2006-542009 20041202
NO 2006003111 A 20060905 NO 2006-3111 20060704
PRIORITY APPLN. INFO.: GB 2003-28243 A 20031205
WO 2004-GB5072 W 20041202

OTHER SOURCE(S): MARPAT 143:78206

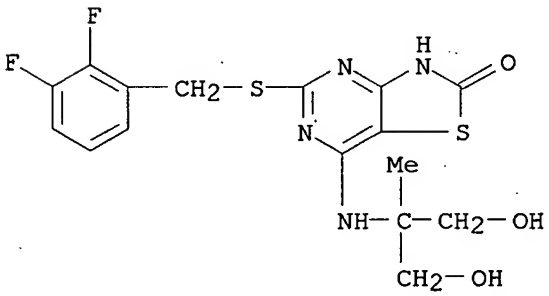
IT 676345-23-6P 855476-57-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(claimed compound; preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

RN 676345-23-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)



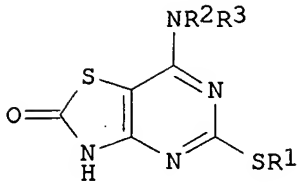
● Na

RN 855476-57-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

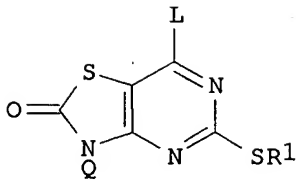


● K

GI



I



II

AB Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepared by treatment of precursors II (R1 as above; L = leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (preparation given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran over 1 h and maintained at 60° for 2 h. The mixture was cooled, stirred with aqueous NaHCO3 and then brine and the resulting solution was heated with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:267340 CAPLUS
DOCUMENT NUMBER: 140:303689
TITLE: Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist
INVENTOR(S): Bonnert, Roger Victor
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026880	A1	20040401	WO 2003-GB3998	20030916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498762	A1	20040401	CA 2003-2498762	20030916
AU 2003267571	A1	20040408	AU 2003-267571	20030916
EP 1543013	A1	20050622	EP 2003-748263	20030916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

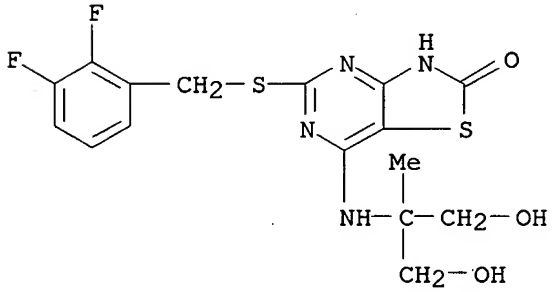
BR 2003014844	A	20050809	BR 2003-14844		20030916
CN 1681826	A	20051012	CN 2003-822335		20030916
JP 2006503835	T	20060202	JP 2004-537276		20030916
NZ 538826	A	20061222	NZ 2003-538826		20030916
ZA 2005002272	A	20050919	ZA 2005-2272		20050317
NO 2005001892	A	20050617	NO 2005-1892		20050419
US 2006100221	A1	20060511	US 2005-528316		20051201
PRIORITY APPLN. INFO.:			GB 2002-21828	A	20020920
			WO 2003-GB3998	W	20030916

OTHER SOURCE(S): MARPAT 140:303689

IT 676345-22-5P 676345-23-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

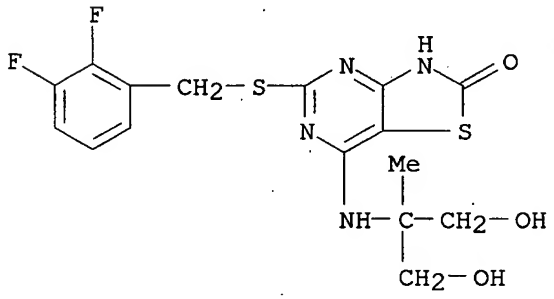
RN 676345-22-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

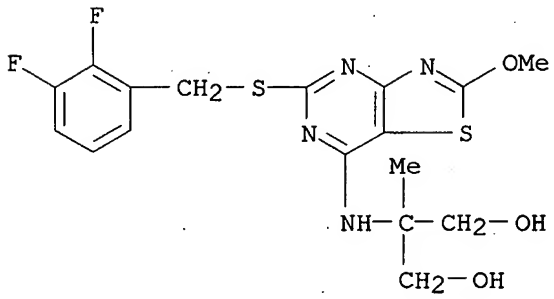


● Na

IT 676345-26-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

RN 676345-26-9 CAPLUS

CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I and its monosodium salt, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:267303 CAPLUS
DOCUMENT NUMBER: 140:303685
TITLE: Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist
INVENTOR(S): Brough, Stephen John; McInally, Thomas
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026835	A1	20040401	WO 2003-GB4000	20030916

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2498760	A1	20040401	CA 2003-2498760	20030916
AU 2003264765	A1	20040408	AU 2003-264765	20030916
EP 1542974	A1	20050622	EP 2003-797377	20030916

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003014843	A	20050809	BR 2003-14843	20030916
CN 1681787	A	20051012	CN 2003-822336	20030916
JP 2006503836	T	20060202	JP 2004-537278	20030916
ZA 2005002267	A	20050919	ZA 2005-2267	20050317
US 2005272750	A1	20051208	US 2005-528270	20050317
NO 2005001893	A	20050617	NO 2005-1893	20050419

PRIORITY APPLN. INFO.: GB 2002-21829 A 20020920
WO 2003-GB4000 W 20030916

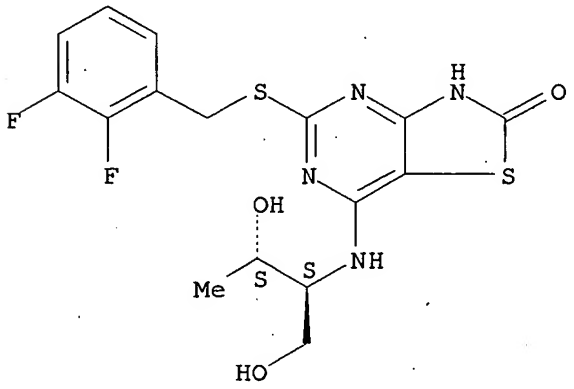
OTHER SOURCE(S): MARPAT 140:303685

IT 676345-69-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

RN 676345-69-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is

claimed. The pharmaceutical composition comprising the compound I is claimed.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:265425 CAPLUS
DOCUMENT NUMBER: 134:280857
TITLE: Preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors
INVENTOR(S): Willis, Paul Andrew; Bonnert, Roger Victor; Hunt, Simon Fraser; Walters, Iain Alistair Stewart
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025242	A1	20010412	WO 2000-GB3692	20000926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385269	A1	20010412	CA 2000-2385269	20000926
BR 2000014334	A	20020611	BR 2000-14334	20000926
EP 1222195	A1	20020717	EP 2000-960891	20000926
EP 1222195	B1	20040114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511384	T	20030325	JP 2001-528186	20000926
EE 200200174	A	20030415	EE 2002-174	20000926
HU 200204246	A2	20030428	HU 2002-4246	20000926
NZ 517880	A	20030926	NZ 2000-517880	20000926
EP 1348709	A2	20031001	EP 2003-15019	20000926
EP 1348709	A3	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 257838	T	20040115	AT 2000-960891	20000926
PT 1222195	T	20040531	PT 2000-960891	20000926
ES 2213043	T3	20040816	ES 2000-960891	20000926
AU 777872	B2	20041104	AU 2000-73049	20000926
TW 260324	B	20060821	TW 2000-89121952	20001019
IN 2002MN00313	A	20050318	IN 2002-MN313	20020314
NO 2002001448	A	20020522	NO 2002-1448	20020322
ZA 2002002380	A	20030804	ZA 2002-2380	20020325
US 6790850	B1	20040914	US 2002-89571	20020329
HK 1052009	A1	20060113	HK 2003-104330	20030617
US 2004224961	A1	20041111	US 2004-863995	20040609

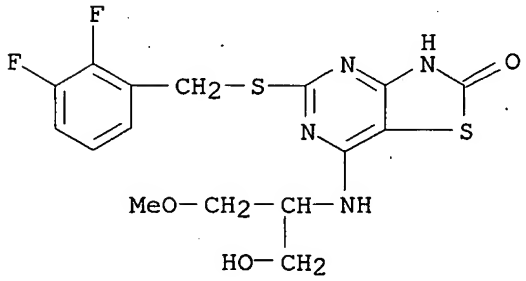
PRIORITY APPLN. INFO.:
SE 1999-3544 A 19991001
EP 2000-960891 A3 20000926
WO 2000-GB3692 W 20000926
US 2002-89571 A1 20020329

OTHER SOURCE(S): MARPAT 134:280857
IT 333742-86-2P 333742-87-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

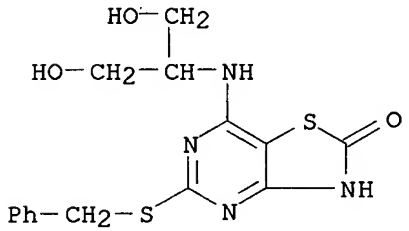
RN 333742-86-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 333742-87-3 CAPLUS

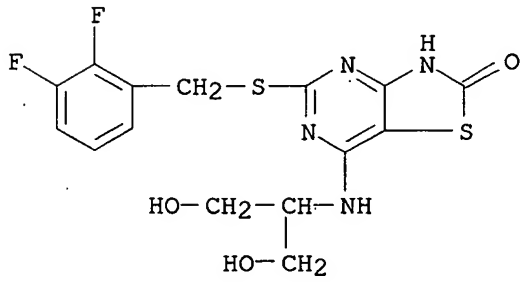
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



IT 333742-50-0P 333742-72-6P 333742-91-9P
333742-92-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-50-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

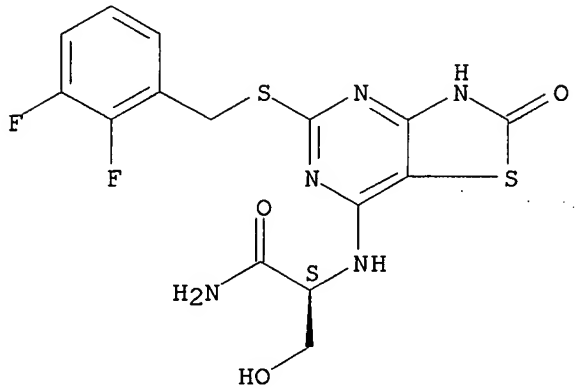


RN 333742-72-6 CAPLUS

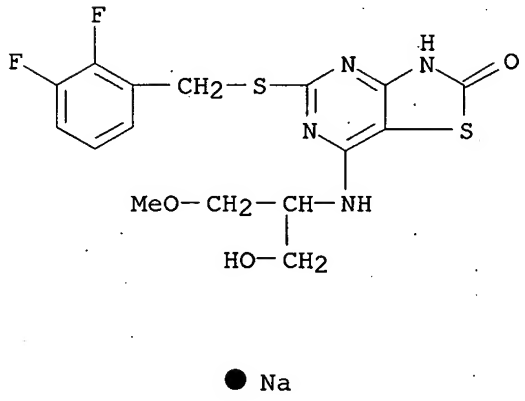
CN Propanamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-

oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

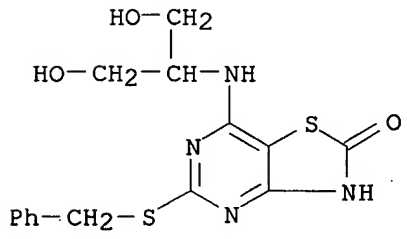


RN 333742-91-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)ethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

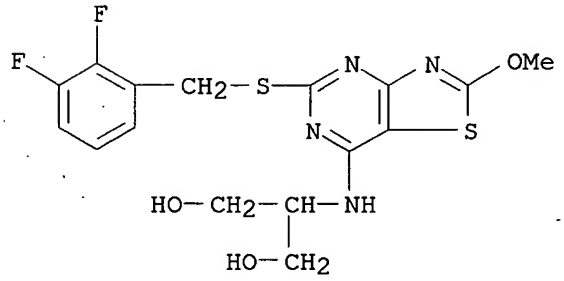
RN 333742-92-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)



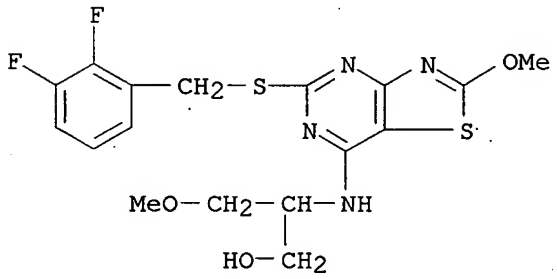
● Na

IT 333743-09-2P 333743-99-0P 333744-02-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

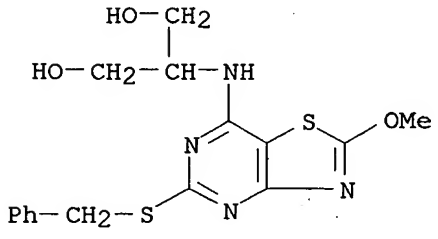
RN 333743-09-2 CAPLUS
CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



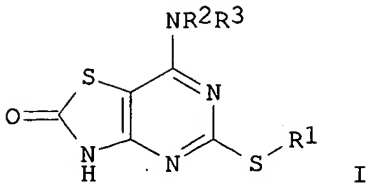
RN 333743-99-0 CAPLUS
CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methoxy- (9CI) (CA INDEX NAME)



RN 333744-02-8 CAPLUS
CN 1,3-Propanediol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



GI



I

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.], useful in treating a chemokine mediated disease, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = CMe2CH2OH; R3 = H] was described. The compds. I were tested and found to be antagonists of the CXCR2 receptor in human neutrophils.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	21.55	193.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.12	-3.12

STN INTERNATIONAL LOGOFF AT 18:32:49 ON 13 JUN 2007